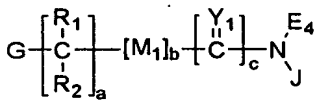
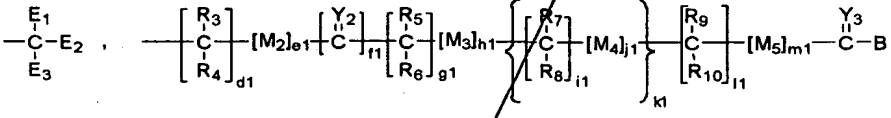
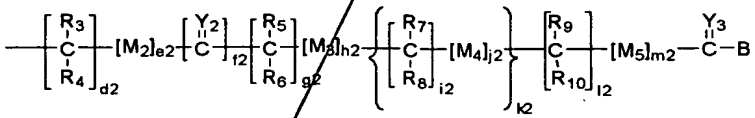
ABSTRACT

The present invention is directed to polymeric-prodrug transport forms of the formula:

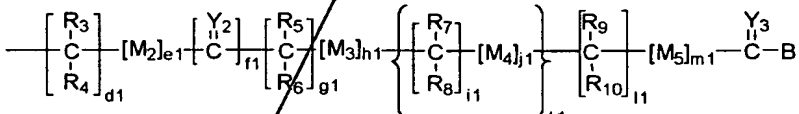
(I) 

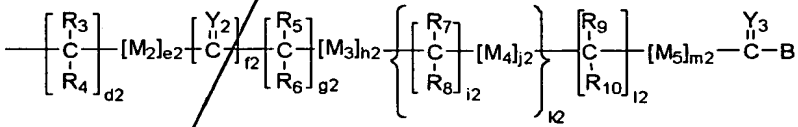
wherein:

J is 

or 

E_{1-4} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, substituted C_{1-6} heteroalkyls, C_{1-6} alkoxy, phenoxy, C_{1-6} heteroalkoxy,

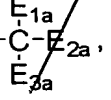


or 

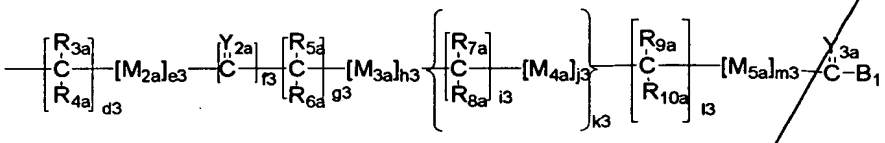
and at least one of E_{1-4} includes a B moiety;

B is a leaving group, OH, a residue of a hydroxyl-containing moiety, a residue of an amine-containing moiety or 

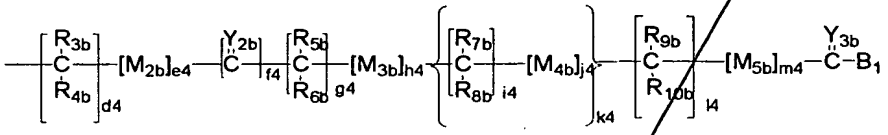
wherein E_5 is independently selected from the same group which defines E_{1-4};

J_1 is  ,

$E_{1a\text{-}3a}$ are independently selected from the group consisting of hydrogen, $C_{1\text{-}6}$ alkyls, $C_{3\text{-}12}$ branched alkyls, $C_{3\text{-}8}$ cycloalkyls, $C_{1\text{-}6}$ substituted alkyls, $C_{3\text{-}8}$ substituted cycloalkyls, aryls, substituted aryls, aralkyls, $C_{1\text{-}6}$ heteroalkyls, substituted $C_{1\text{-}6}$ heteroalkyls, $C_{1\text{-}6}$ alkoxy, phenoxy, $C_{1\text{-}6}$ heteroalkoxy,

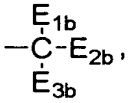


or

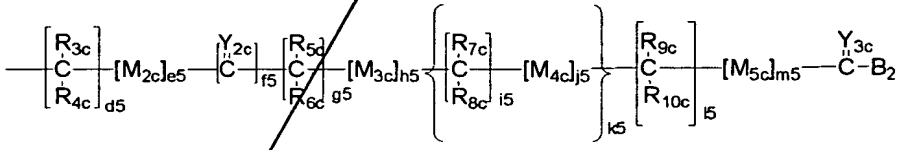


wherein B_1 is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety or

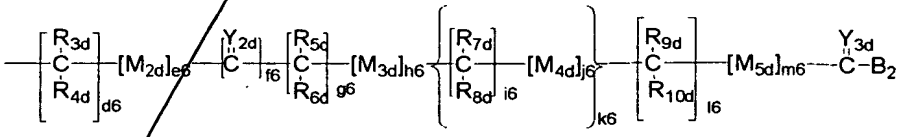
wherein E_6 is independently selected from the same group which defines $E_{1\text{-}4}$;

J_2 is  ,

wherein $E_{1b\text{-}3b}$ are independently selected from the group consisting of hydrogen, $C_{1\text{-}6}$ alkyls, $C_{3\text{-}12}$ branched alkyls, $C_{3\text{-}8}$ cycloalkyls, $C_{1\text{-}6}$ substituted alkyls, $C_{3\text{-}8}$ substituted cycloalkyls, aryls, substituted aryls, aralkyls, $C_{1\text{-}6}$ heteroalkyls, substituted $C_{1\text{-}6}$ heteroalkyls, $C_{1\text{-}6}$ alkoxy, phenoxy, $C_{1\text{-}6}$ heteroalkoxy,



or



wherein B_2 is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety;

G is a polymeric residue;

Y_{1-3}, Y_{2a-d} and Y_{3a-d} are each independently O, S or NR_{11a}

M_{1-4}, M_{2a-2d}, M_{3a-3d}, and M_{4a-4d} are each independently O, S or NR_{11b};

M_5 and M_{5a-d} are each independently X or Q,

wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from $C(=Y_3)$ or $C(=Y_{3a-d})$;

R_{1-10}, R_{1a-11a}, R_{1b-11b}, R_{1c-10c} and R_{1d-10d} are each independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, substituted C_{1-6} heteroalkyls, C_{1-6} alkoxy, phenoxy and C_{1-6} heteroalkoxy; and

a, b, c, d1- d6, e1-e6, f1- f6, g1- g6, h1- h6, i1- i6, j1- j6, k1- k6, l1- l6, m1- m6 are each independently zero or a positive integer.